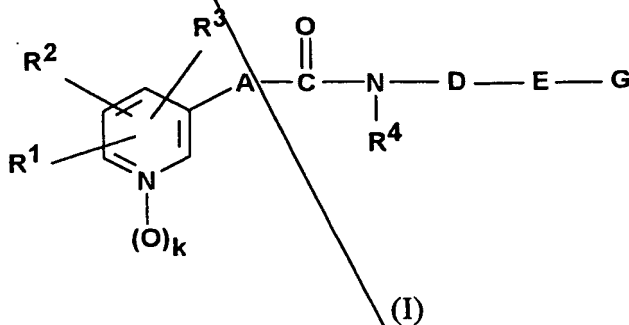


## Claims

1. Compounds of formula (I)



wherein

**R<sup>1</sup>** hydrogen, halogen, cyano, trifluoromethyl, hydroxy, benzyloxy, aminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, alkenyl, especially C<sub>3</sub>-C<sub>6</sub>-alkenyl, alkynyl, especially C<sub>3</sub>-C<sub>6</sub>-alkynyl, hydroxyalkyl, especially C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, alkoxy, especially C<sub>1</sub>-C<sub>6</sub>-alkoxy, alkenyloxy, especially C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, alkynyloxy, especially C<sub>3</sub>-C<sub>6</sub>-alkynyloxy, alkanoyloxy, especially C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, alkoxycarbonyloxy, especially C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy, alkylthio, especially C<sub>1</sub>-C<sub>6</sub>-alkylthio, alkenylthio, especially C<sub>3</sub>-C<sub>6</sub>-alkenylthio, alkynylthio, especially C<sub>3</sub>-C<sub>6</sub>-alkynylthio, cycloalkyl, especially C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

cycloalkyloxy, especially C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy,  
 cycloalkylthio, especially C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio,  
 alkoxycarbonyl, especially C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl,  
 alkylaminocarbonyl, especially C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl,  
 dialkylaminocarbonyl, especially C<sub>3</sub>-C<sub>13</sub>-dialkylaminocarbonyl, or  
 NR<sup>5</sup>R<sup>6</sup>, wherein

R<sup>5</sup> and

R<sup>6</sup> are selected independently of each other from hydrogen,  
 alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl,  
 alkenyl, especially C<sub>3</sub>-C<sub>6</sub>-alkenyl and  
 alkynyl, especially C<sub>3</sub>-C<sub>6</sub>-alkynyl,

R<sup>2</sup> is hydrogen, halogen, cyano, hydroxy, trifluoromethyl, benzyloxy,  
 alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl,  
 alkoxy, especially C<sub>1</sub>-C<sub>6</sub>-alkoxy or  
 alkanoyloxy, especially C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy,

wherein R<sup>1</sup> and R<sup>2</sup>, if they are adjacent, optionally form a bridge which is  
 selected from

-(CH<sub>2</sub>)<sub>4</sub>-, -(CH=CH)<sub>2</sub>- and -CH<sub>2</sub>O-CR<sup>7</sup>R<sup>8</sup>-O-, wherein

R<sup>7</sup> and

R<sup>8</sup> are, independently of each other, hydrogen or alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl,

R<sup>3</sup> is hydrogen, halogen, alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl or  
 hydroxyalkyl, especially C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl and

**R<sup>4</sup>** is hydrogen, hydroxy, benzyloxy, alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, alkenyl, especially C<sub>3</sub>-C<sub>6</sub>-alkenyl, alkynyl, especially C<sub>3</sub>-C<sub>6</sub>-alkynyl, cycloalkyl, especially C<sub>3</sub>-C<sub>6</sub>-cycloalkyl or alkoxy, especially C<sub>1</sub>-C<sub>6</sub>-alkoxy,

**k** is 0 or 1,

**A** is alkenylene with at least two C-atoms, especially C<sub>2</sub>-C<sub>6</sub>- alkenylene, which is optionally substituted once to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub> -alkoxy, fluorine, cyano or phenyl,

alkadienylene with at least four C-atoms, especially C<sub>4</sub>-C<sub>6</sub>- alkadienylene, which is optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl,

1,3,5-hexatrienylene, which is optionally substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano, or phenyl,

ethynylene

**D** is selected from alkylene, especially C<sub>1</sub>-C<sub>10</sub>-alkylene, optionally substituted once or twice by alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or alkoxy, especially C<sub>1</sub>-C<sub>6</sub>-alkoxy,

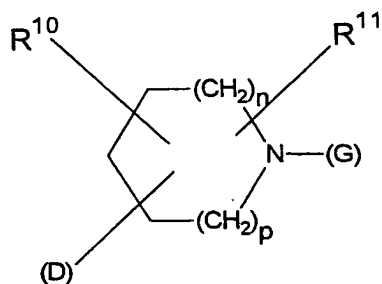
alkenylene with at least two C-atoms, especially C<sub>2</sub>-C<sub>10</sub>-alkenylene, which is optionally substituted once or twice by alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or alkoxy, especially C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein the double bond can also be to ring E,

alkynylene with at least three C-atoms, especially C<sub>3</sub>-C<sub>10</sub>-alkynylene, optionally substituted once or twice by alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy or alkoxy, especially C<sub>1</sub>-C<sub>6</sub>-alkoxy, and

alkylene, especially C<sub>1</sub>-C<sub>10</sub>-alkylene, alkenylene with at least two C-atoms, especially C<sub>2</sub>-C<sub>10</sub>-alkenylene or alkynylene with at least three C-atoms, especially C<sub>3</sub>-C<sub>10</sub>-alkynylene, whereby one to three methylene units are each isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub> wherein

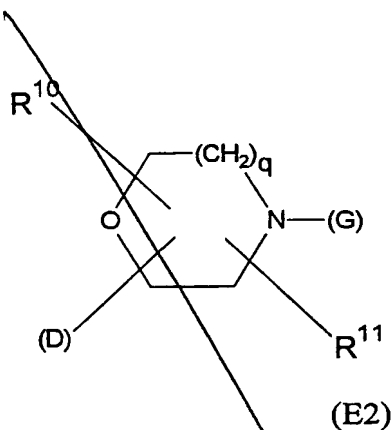
**R<sup>9</sup>** is selected from hydrogen, alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, alkenyl, especially C<sub>3</sub>-C<sub>6</sub>-alkenyl, alkynyl, especially C<sub>3</sub>-C<sub>6</sub>-alkynyl, acyl, especially C<sub>1</sub>-C<sub>6</sub>-acyl or alkylsulfonyl, especially C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl, has the same meaning as

**E** is selected from



(E1)

or



wherein the heterocyclic ring can also optionally have a double bond and

**n** and

**p** can be, independently of one another 0, 1, 2 or 3, with the proviso that  $n + p \leq 4$

and

**q** is 2 or 3,

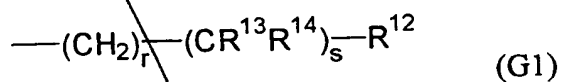
**R<sup>10</sup>** is hydrogen, alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, hydroxymethyl, carboxy or alkoxy carbonyl with at least two C-atoms, especially C<sub>2</sub>-C<sub>7</sub>-alkoxy carbonyl and

**R<sup>11</sup>** is hydrogen, alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl or an oxo group adjacent to the nitrogen atom, wherein

**R<sup>10</sup>** and **R<sup>11</sup>** optionally together, form an alkylene bridge with 1, 2, 3, 4 or 5 C-atoms, especially a C<sub>1</sub>-C<sub>3</sub>-alkylene bridge under formation of a bicyclic ring system,

**G** is selected from hydrogen,  
G1, G2, G3, G4 and G5, wherein

G1 represents the residue



wherein

**r** is an integer from 1 to 3 or 0 and

**s** is 0 or 1,

**R<sup>12</sup>** is selected from hydrogen, alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, alkenyl with at least three C-atoms, especially C<sub>3</sub>-C<sub>6</sub>-alkenyl, alkynyl with at least three C-atoms, especially C<sub>3</sub>-C<sub>6</sub>-alkynyl, cycloalkyl with at least three C-atoms, especially C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

saturated, five to seven membered heterocycles, which can contain one or two hetero-atoms from the group N and/or S and/or O,

benzyl or phenyl,

monocyclic aromatic five or six-membered heterocycles, which can contain one to three hetero-atoms from the group N and/or S and/or O and are either bound directly or over a methylene group,

annelated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

**R<sup>13</sup>** has the same meaning as **R<sup>12</sup>**, but is selected independently thereof,

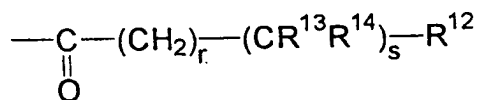
**R<sup>14</sup>** is selected from hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from the group N and/or S and/or O and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

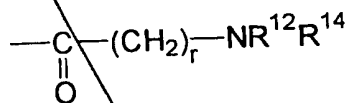
anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

**G2** is the residue



(G2a)

or



(G2b)

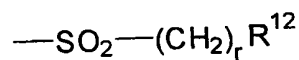
wherein the substituents **R<sup>12</sup>** and **R<sup>14</sup>** can have the above meaning or the grouping



can also be a nitrogen heterocycle bound over the nitrogen atom, selected from saturated or unsaturated monocyclic, four- to eight-membered heterocycles, which, aside from the essential nitrogen atom, can optionally contain one or two further hetero-atoms selected from the group N and/or S and/or O, or

saturated or unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from the essential nitrogen atom, can optionally contain one or two further hetero-atoms selected from the group N and/or S and/or O,

**G3** is the residue



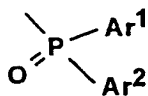
(G3)

and

**G4** is the residue



163



(G4)

wherein

**Ar<sup>1</sup>** and **Ar<sup>2</sup>** are selected independently from one another from phenyl, pyridyl or naphthyl and

**G5** is the residue



(G5)

wherein

**R<sup>15</sup>** is selected from trifluoromethyl, alkoxy, especially C<sub>1</sub>-C<sub>6</sub>-alkoxy, alkenyloxy, especially C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, or benzyloxy,

wherein any aryl residues and/or aromatic ring systems in the substituents **R<sup>1</sup>**, **R<sup>2</sup>**, **R<sup>4</sup>**, **R<sup>12</sup>**, **R<sup>13</sup>**, **R<sup>14</sup>**, **R<sup>15</sup>**, **Ar<sup>1</sup>** and **Ar<sup>2</sup>** and/or in the ring system **-NR<sup>12</sup>R<sup>14</sup>** can be substituted independently from each other by one to three of the same or different residues which are selected from halogen, cyano, alkyl, especially C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, cycloalkyl, especially C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, alkoxy, especially C<sub>1</sub>-C<sub>6</sub>-alkoxy, alkoxy, substituted entirely or partially by fluorine, substituted alkoxy especially C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy, phenoxy, mercapto, alkylthio, especially C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, alkoxycarbonyl, especially C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, monoalkylamino, especially mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, dialkylamino, especially di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino and methylenedioxy for two adjacent groups on the aromatic ring or ring system,

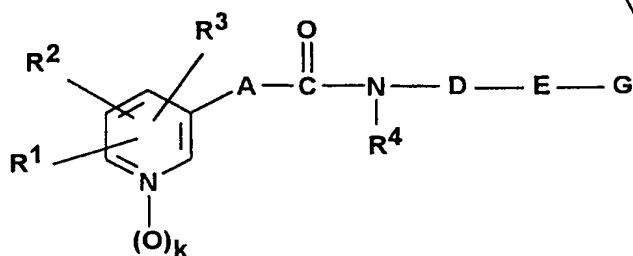
wherein each of the residues alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxy, alkenyloxy, alkynyloxy, alkanoyloxy, alkoxycarbonyl, alkoxycarbonyloxy, alkylthio, alkenylthio, alkynylthio, alkylene, acyl, alkylsulfonyl, alkenylene, alkynylene, cycloalkyl, cycloalkyloxy, alkoxycarbonyl, alkylaminocarbonyl or dialkylaminocarbonyl of the substituents  $R^1$  to  $R^{13}$  can have 1 to 2 or 4, 6, 8, 10 or 12 C-atoms and/or 2 or 3 to 5, 7, 9, 11 or 13 and/or 15 C-atoms or 4, 5, 6, 7, 8, 9, 10, 11, 12, 13, 14 or 15 C-atoms depending on the structure, as well as

stereoisomers and/or mixtures thereof and pharmacologically acceptable

acid addition salts

with the exception of (E)-3-(3-piridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide hydrochloride .

2. Compound of formula (I)



(I)

wherein

$R^1$  is a hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -alkynyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_1$ - $C_6$ -hydroxyalkyl, hydroxy,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_6$ -alkenyloxy,  $C_3$ - $C_6$ -alkynyloxy, benzyloxy,  $C_1$ - $C_7$ -alkanoyloxy,

C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>3</sub>-C<sub>6</sub>-alkenylthio, C<sub>3</sub>-C<sub>6</sub>-alkynylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>13</sub>-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, or NR<sup>5</sup>R<sup>6</sup>, wherein

R<sup>5</sup> and

R<sup>6</sup> are selected independently from each other from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl and C<sub>3</sub>-C<sub>6</sub>-alkynyl,

R<sup>2</sup> is hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy or C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy,

wherein R<sup>1</sup> and R<sup>2</sup>, in case they are adjacent, optionally form a bridge which is selected from the bridge members

-(CH<sub>2</sub>)<sub>4</sub>- and -(CH=CH)<sub>2</sub>- and -CH<sub>2</sub>O-CR<sup>7</sup>R<sup>8</sup>-O-, wherein

R<sup>7</sup> and

R<sup>8</sup> are, independently from each other, hydrogen or C<sub>1</sub>-C<sub>6</sub>-alkyl,

R<sup>3</sup> is hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl or C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl and

R<sup>4</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy or benzyloxy,

k is 0 or 1,

A is C<sub>2</sub>-C<sub>6</sub>-alkenylene, which is optionally substituted once to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, cyano or phenyl,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene, which is optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl

1,3,5-hexatrienylene, which is optionally substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl

ethynylene

D is selected from C<sub>1</sub>-C<sub>10</sub>-alkylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy,

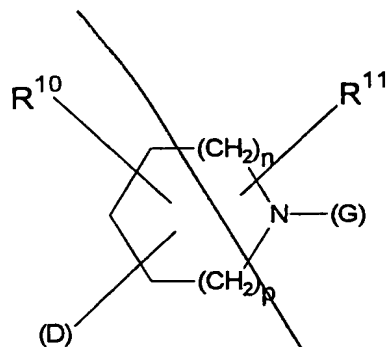
C<sub>2</sub>-C<sub>10</sub>-alkenylene, which is optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy, wherein the double bond can also be to ring E,

C<sub>3</sub>-C<sub>10</sub>-alkynylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy, and

C<sub>1</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-alkenylene or C<sub>3</sub>-C<sub>10</sub>-alkynylene, wherein one to three methylene units are each isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>, wherein

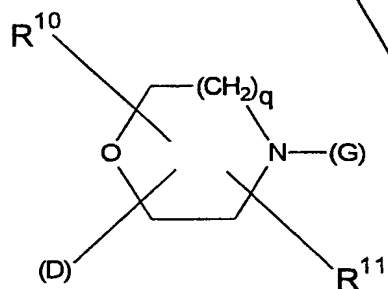
R<sup>9</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkynyl, C<sub>1</sub>-C<sub>6</sub>-acyl or C<sub>1</sub>-C<sub>6</sub>-alkylsulfonyl,

E is selected from



(E1)

or



(E2)

wherein the heterocyclic ring can optionally have a double bond and

**n** and

**p** can be, independently of each other, 0, 1, 2 or 3, with the proviso that  $n + p \leq 4$  and

**q** is 2 or 3,

**R<sup>10</sup>** is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, hydroxymethyl, carboxy or C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl and

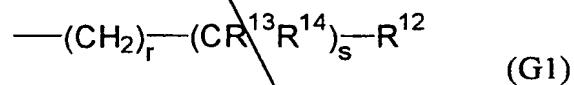
**R<sup>11</sup>** hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl or an oxo group adjacent to the nitrogen atom, wherein

**R<sup>10</sup>** and **R<sup>11</sup>** optionally together form a C<sub>1</sub>-C<sub>3</sub>-alkylene bridge under formation of a bi-cyclic ring system,

**G** is selected from hydrogen,

**G1, G2, G3, G4** and **G5**, wherein

**G1** represents the residue



wherein

**r** is an integer from 1 to 3 or 0 and

**s** is 0 or 1,

**R<sup>12</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

saturated, five- to seven-membered heterocycles, which can contain one or two hetero-atoms from the group N and/or S and/or O,

benzyl or phenyl,

monocyclic aromatic five or six-membered heterocycles, which can contain one to three hetero-atoms from the group N and/or S and/or O and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

**R<sup>13</sup>** has the same meaning as **R<sup>12</sup>**, but is selected independently thereof,

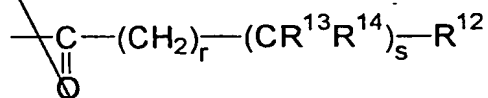
**R<sup>14</sup>** is selected from hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from the group N and/or S and/or O and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

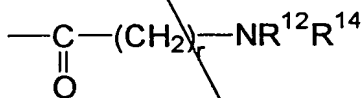
anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

G2 is the residue



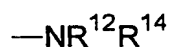
(G2a)

or



(G2b)

wherein the substituents  $\text{R}^{12}$  and  $\text{R}^{14}$  can have the above meaning or the grouping



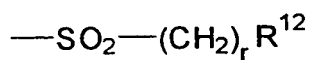
can also be a nitrogen heterocycle bound over the nitrogen atom, selected from

saturated or unsaturated monocyclic, four- to eight-membered heterocycles, which, aside from the essential nitrogen atom, can optionally contain one or two further hetero-atoms selected from the group N and/or S and/or O, or

saturated or unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from the essential nitrogen atom, can optionally contain one or two further hetero-atoms selected from the group N and/or S and/or O,

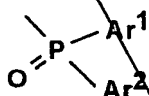
G3 is the residue





(G3)

and

**G4** is the residue

(G4)

wherein

**Ar<sup>1</sup>** and **Ar<sup>2</sup>** are selected independently from one another from phenyl, pyridyl or naphthyl and

**G5** is the residue

(G5)

wherein

**R<sup>15</sup>** is selected from trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, or benzyloxy, and wherein

aromatic ring systems in the substituents **R<sup>1</sup>**, **R<sup>2</sup>**, **R<sup>4</sup>**, **R<sup>12</sup>**, **R<sup>13</sup>**, **R<sup>14</sup>**, **R<sup>15</sup>**, **Ar<sup>1</sup>** and **Ar<sup>2</sup>** and/or in the ring system **-NR<sup>12</sup>R<sup>14</sup>** can be substituted independently from each other by one to three of the same or different residues which are selected from halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-Cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, which can optionally be entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl,

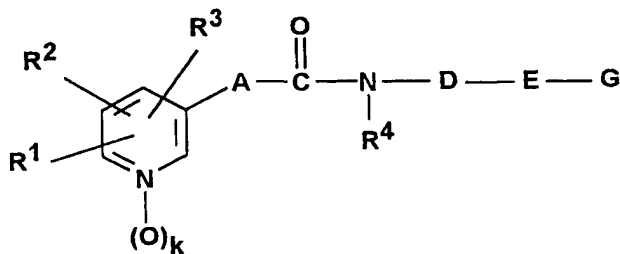
benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino and methylenedioxy for two adjacent groups on the aromatic ring or ring system,

their stereoisomers thereof and/or their mixtures thereof and pharmacologically acceptable

acid addition salts

with the exception of (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidin-4-yl)ethyl]-2-propenamide hydrochloride.

3. <sup>2 wherein</sup> Compounds according to claim ~~1 or 2, characterized in that~~ the substituents **R<sup>1</sup>**, **R<sup>2</sup>**, **R<sup>3</sup>**, **R<sup>4</sup>**, **R<sup>5</sup>**, **R<sup>6</sup>**, **R<sup>7</sup>**, **R<sup>8</sup>**, **R<sup>9</sup>**, **R<sup>12</sup>**, **R<sup>13</sup>**, **R<sup>14</sup>** and **R<sup>15</sup>** as well as **A** and **D** indicated therein have the following meaning in connection with the given substitutions according to formula (I)



(I)

wherein

halogen is fluorine, chlorine, bromine or iodine,

**C<sub>1</sub>-C<sub>6</sub>-alkyl** can be straight chain or branched and is preferably a methyl-, ethyl-, propyl-, isopropyl-, butyl-, isobutyl-, sec-butyl-, tert-butyl-, cyclopropylmethyl-, pentyl-,

isopentyl-, tert-pentyl-, neopentyl-, cyclopropylethyl-, cyclobutylmethyl- or a hexyl group,

**alkylene** is for example methylene, ethylene, propylene, tetramethylene, pentamethylene, hexamethylene, heptamethylene, octamethylene, nonamethylene or decamethylene,

**C<sub>3</sub>-C<sub>6</sub>-alkenyl** is straight chain or branched and is preferably an allyl-, 2-butenyl-, 3-butenyl-, 2-methyl-2-propenyl-, 2-pentenyl-, 4-pentenyl-, 2-methyl-2-butenyl-, 3-methyl-2-butenyl-, 2-hexenyl-, 5-hexenyl-, 4-methyl-3-pentenyl- or 2,2-dimethyl-3-butenyl group,

**alkenylene** is for example ethenylene, propenylene, butenylene, pentenylene, hexenylene, hexathenylene, heptenylene, octenylene, nonenylene or decenylene,

**C<sub>3</sub>-C<sub>6</sub>-alkinyl** is straight chain or branched and is preferably a propargyl-, 2-butylnyl-, 3-butylnyl-, 4-pentynyl-, 5-hexynyl- or 4-methyl-2-pentynyl group,

**alkinylene** is for example propinylene, butinylene, pentinylene, hexinylene, heptinylene, octinylene, noninylene or decinylene,

**C<sub>3</sub>-C<sub>8</sub>-cycloalkyl** is preferably cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl or cyclooctyl,

**C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl** contains a hydroxyl group in one of the above-named C<sub>1</sub>-C<sub>6</sub>-alkyl residues, especially in the form of the hydroxymethyl- and hydroxyethyl group, wherein

**C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkinyloxy** each contain, aside from the oxygen atom, one of the C<sub>1</sub>-C<sub>6</sub>-alkyl-, C<sub>3</sub>-C<sub>6</sub>-alkenyl- and/or C<sub>3</sub>-C<sub>6</sub>-alkinyl groups

named above and the methoxy-, ethoxy-, isopropoxy-, tert-butoxy-, allyloxy- and propargyloxy group are preferred and is to be understood as among C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted with fluorine, for example difluormethoxy, trifluormethoxy or 2,2,2-trifluoroethoxy,

**C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>3</sub>-C<sub>6</sub>-alkenylthio, C<sub>3</sub>-C<sub>6</sub>-alkynylthio** each contain, aside from the sulfur atom, one of the C<sub>1</sub>-C<sub>6</sub>-alkyl-, C<sub>3</sub>-C<sub>6</sub>-alkenyl- or C<sub>3</sub>-C<sub>6</sub>-alkynyl group named above, especially the methylthio-, ethylthio-, isopropylthio- and tert-butylthio group,

**C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy and C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio** are preferred as cyclopentyloxy- and cyclopentylthio- and/or cyclohexyloxy- and cyclohexylthio groups,

**C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy groups** contain, aside from the oxygen atom, an aliphatic acyl residue with 1 to 7 carbon atoms, especially the acetoxy-, propionyloxy- and pivaloyloxy group,

**C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl groups** contain, aside from the carbonyl group, one of the C<sub>1</sub>-C<sub>6</sub>-alkoxy groups mentioned above, especially the methoxycarbonyl-, ethoxycarbonyl-, isopropoxycarbonyl-, isobutoxycarbonyl- and tert-butoxycarbonyl group,

**C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy groups** contain, aside from the oxygen atom, one of the C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl residues mentioned above, especially the methoxycarbonyloxy-, ethoxycarbonyloxy-, isopropoxycarbonyloxy-, isobutoxycarbonyloxy- and tert-butoxycarbonyl group as well as the allyloxycarbonyloxy group,

**C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl and C<sub>3</sub>-C<sub>13</sub>-dialkylaminocarbonyl groups** contain, beside the carbonyl group, an alkylamino- and/or dialkylamino residue, whose C<sub>1</sub>-C<sub>6</sub>-alkyl groups have the above meanings, wherein the dimethylaminocarbonyl-, diethylaminocarbonyl- and the diisopropylaminocarbonyl groups are preferred, and

one of the following C<sub>1</sub>-C<sub>6</sub>-alkylamino groups and/or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino groups are to be understood under the amino groups of the formula NR<sup>5</sup>R<sup>6</sup>, aside from the unsubstituted amino group,

**C<sub>1</sub>-C<sub>6</sub>-alkylamino** contains one of the C<sub>1</sub>-C<sub>6</sub>-alkyl groups mentioned above, especially in form of the methylamino-, ethylamino-, propylamino-, isopropylamino-, butylamino- and the tert-butylamino group,

**di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)amino** carries two of the same or different of the above named C<sub>1</sub>-C<sub>6</sub>-alkyl groups on the nitrogen atom, especially in form of the dimethylamino-, diethylamino-, dipropylamino-, diisopropylamino-, isopropylmethylamino-, dibutylamino- or tert-butylmethylamino group,

**C<sub>1</sub>-C<sub>6</sub>-acyl** is the residue of an aliphatic saturated or unsaturated, straight chain, branched or cyclic carboxylic acid, especially in form of the formyl-, acetyl-, propionyl-, acryloyl-, butyryl-, isobutyryl-, methacryloyl-, cyclopropylcarbonyl-, pentanoyl-, pivaloyl-, cyclobutylcarbonyl-, hexanoyl- and the dimethylacryloyl group,

**C<sub>1</sub>-C<sub>6</sub>-alkansulfonyl** is preferably the methanesulfonyl-, ethanesulfonyl-, propanesulfonyl-, butanesulfonyl-, pentanesulfonyl- and the hexanesulfonyl group,

**saturated five- to seven-membered heterocycles** with one or two hetero-atoms are especially tetrahydrofuryl, tetrahydrothienyl, pyrrolidinyl, tetrahydropyranyl, Piperidinyl, hexahydroazepinyl, piperazinyl, hexahydrodiazepinyl or morpholinyl,

**monocyclic aromatic five- or six-membered heterocycles** with one to three hetero-atoms are especially furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, imidazolyl, pyrazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl or triazinyl,

**anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems** with 8 to 16 ring atoms and at least one aromatic ring are preferably benzocyclobutyl, indanyl, indenyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, biphenylenyl, fluorenyl, anthryl, dihydroanthryl, phenanthryl, dihydrophenanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl, dihydrodibenzocyclooctenyl or tetrahydrodibenzocyclooctenyl, wherein their mono- or dioxo-derivates, for example, the residues of indanone, tetralone, anthrone, anthraquinone, fluorenone, phenanthrone, dibenzocycloheptenone, dihydrodibenzocycloheptenone or tetrahydrodibenzocyclooctenone are also to be understood as partially hydrated carboxylic ring systems,

**anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems** with 8 to 16 ring atoms and at least one aromatic ring are among, for example, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, benzimidazolyl, indazolyl, benzoxazolyl, benzisoxazolyl, benzothiazolyl, benzoisothiazolyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, thiazolopyridyl, isothiazolopyridyl, imidazopyridyl, pyrazolopyridyl, thienopyrimidinyl, chromanyl, benzopyranyl, quinolyl, isoquinolyl, dihydroquinolyl, tetrahydroquinolyl, benzodioxanyl, quinoxalanyl, quinazolinyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, pyridoindolyl, acridinyl, phenothiazinyl, dihydrodibenzoxepinyl, benzocycloheptathienyl, dihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, dihydropyridobenzodiazepinyl, dihydrodibenzoxazepinyl, dihydropyridobenzoxepinyl, dihydropyridobenzoxazepinyl, dihydrodibenzothiazepinyl or dihydropyridobenzothiazepinyl, wherein their mono- or dioxo-derivates and/or optionally their possible tautomeres are also to be understood as partially hydrated heterocyclic ring systems, for example, the residues of indolinone, isatin, benzoxazolone and/or their tautomeres hydroxybenzoxazol, of benzisoxazolone, benzothiazolone, benzoisothiazolone and benzimidazolone and/or their tautomeres, hydroxybenzisoxazol, hydroxybenzothiazol, hydroxybenzoisothiazol and

hydroxybenzimidazol, of indazolinone, of oxazolopyridinone, thiazolopyridinones, pyrazolopyridinones and imidazopyridinones and/or their tautomeres hydroxyoxazolopyridine, hydroxythiazolopyridines, hydroxypyrazolopyridines and hydroxyimidazopyridines, the residues of chromanone, chromone, quinolinone, dihydroquinolinone, tetrahydrocarbazolone, acridone, of dihydrodibenzoxepinones, benzocycloheptathiophenones, dihydrothienobenzothiepinones, dihydrodibenzo-thiepinones, dihydrodibenzoazepinones, benzocycloheptapyridinones, dihydropyrido-benzoxazepinones, dihydrodibenzothiazepinones and of dihydropyridobenzothiazepi-nones,

**saturated and unsaturated monocyclic, four- to eight-membered heterocycles are**

$\text{—NR}^{12}\text{R}^{14}$  as a grouping which, aside from the essential nitrogen atom, can optionally contain one or two further hetero-atoms selected from N and/or S and/or O, for example azetidine, pyrrolidine, piperidine, (1H)tetrahydropyridine, hexahydroazepine, (1H)tetrahydroazepine, octahydroazocine, pyrazolidine, piperazine, hexahydrodiazepine, morpholine, hexahydrooxazepine, thiomorpholine or thiomorpholine-1,1-dioxide,

**saturated or unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8**

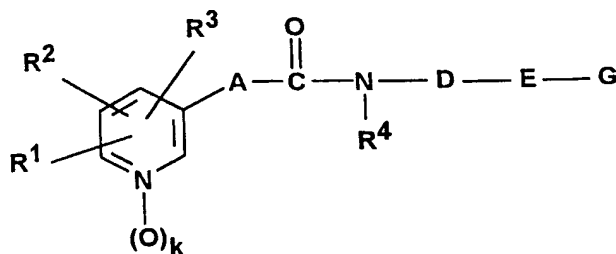
to 16 ring atoms, represent  $\text{—NR}^{12}\text{R}^{14}$  as a grouping which, aside from the essential nitrogen atom can optionally contain one or two further hetero-atoms, selected from N and/or S and/or O, for example 5-aza-bicyclo[2.1.1]hexane, 2-aza-bicyclo[2.2.1]heptane, 7-aza-bicyclo[2.2.1]heptane, 2,5-diaza-bicyclo[2.2.1]heptane, 2-aza-bicyclo[2.2.2]octane, 8-aza-bicyclo[3.2.1]octane, 2,5-diaza-bicyclo[2.2.2]octane, 9-aza-bicyclo[3.3.1]nonane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-dihydrobenzoxazine, (4H)-dihydrobenothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9H-pyrido[3,4-

b]indol, (10H)-dihydroacridine, 1,2,3,4-tetrahydroacridanone, (10H)-phenoxazine, (10H)-phenothiazine, (5H)-dibenzazepine, (5H)-dihydrodibenzazepine, (5H)-octahydrodibenzazepine, (5H)-dihydrodibenzodiazepine, (11H)-dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]oxazepine, (10H)-dihydrodibenzo[b,f]thiazepine or (5H)-tetrahydrodibenzazocine, as well as optionally typical

tautomeres in the case of substitution of the heterocycle as such or in an anellated ring system by free hydroxy-, mercapto- and/or amino groups, and

stereoisomers such as, if applicable, cis/trans-isomers, endo/exo-isomers, optic isomers such as enantiomers, diastereomers as pure isomers or mixtures and/or racemic mixtures as well as the pharmacologically acceptable acid addition salts with inorganic or organic acids, wherein the hydrochlorides, hydrobromides, hydroiodides, sulfates and phosphates, are preferred as addition salts with suitable inorganic acids and acetates, benzoates, 4-methoxybenzoate, 2- or 4-hydroxybenzoate, 4-chlorobenzoate, ascorbate, salicylate, formiate, glutarate, tricarballylate, citrates, fumarates, gluconates, malates, maleates, methanesulfonates, lactates, oxalates, succinates, tartrates and tosylates, for example p-tosylate are preferred as addition salts of organic acids.

4. Compounds according to *Claim 3 wherein* ~~one of the claims 1-3, characterized in that~~ the substituents labelled in formula (I)



(I)

have the following meanings:



**R<sup>1</sup>** is hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, benzyloxy, C<sub>1</sub>-C<sub>4</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>2</sub>-C<sub>6</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>3</sub>-C<sub>9</sub>-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, pyridyloxy or **NR<sup>5</sup>R<sup>6</sup>**, wherein

**R<sup>5</sup>** and

**R<sup>6</sup>** are selected independently from each other from hydrogen and C<sub>1</sub>-C<sub>6</sub>-alkyl,

**R<sup>2</sup>** is hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl or hydroxy, wherein

**R<sup>1</sup>** and **R<sup>2</sup>**, in the case they are adjacent, optionally form a bridge which are selected from the group of bridge members  $-(CH_2)_4-$  and  $-(CH=CH)_2-$  and  $-CH_2O-CR^7R^8-O-$ , wherein

**R<sup>7</sup>** and

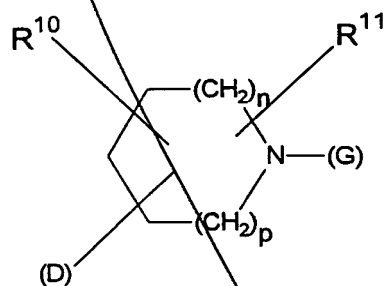
**R<sup>8</sup>** can be, independently from each other, hydrogen and C<sub>1</sub>-C<sub>6</sub>-alkyl,

**R<sup>3</sup>** is selected from hydrogen, halogen and C<sub>1</sub>-C<sub>6</sub>-alkyl and

**R<sup>4</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy and benzyloxy,

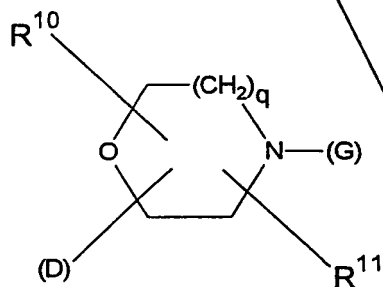
**k** is 0 or 1,

E is



(E1)

or



(E2),

wherein the heterocyclic ring can optionally have a double bond and

**n** and **p** can be, independent of each other, 0, 1, 2 or 3, with the proviso that  $n + p \leq 4$ ,

**q** is 2 or 3,

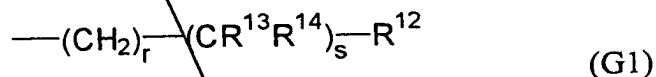
**R<sup>10</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, hydroxymethyl, carboxy or C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl and

**R<sup>11</sup>** is selected from hydrogen or an oxo group adjacent to the nitrogen atom,

**G** is selected from hydrogen,

G1, G2, G3, G4 and G5, wherein

G1 represents the residue



wherein

r is 0, 1 or 2 and

s is 0 or 1,

R<sup>12</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl,

benzyl, phenyl,

monocyclic aromatic five- or six-membered heterocycles, which contain one to three hetero-atoms from the group N and/or S and/or O and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, whereby the bond can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from the groups N and/or S and/or O and the

A is C<sub>2</sub>-C<sub>6</sub>-alkenylene, which is optionally substituted one to three-fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, fluorine, cyano, or phenyl, or

is C<sub>4</sub>-C<sub>6</sub>-alkadienylene, which is optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano, or phenyl, or

1,3,5-hexatrienylene, which is optionally substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, or cyano, as well as

ethynylene,

D is selected from C<sub>1</sub>-C<sub>10</sub>-alkylene, which is optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy, as well as

C<sub>2</sub>-C<sub>10</sub>-alkenylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy, wherein the double bond can also be to ring E or

C<sub>3</sub>-C<sub>10</sub>-alkynylene, which is optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy, as well as

C<sub>1</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-alkenylene or C<sub>3</sub>-C<sub>10</sub>-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>, wherein

R<sup>9</sup> is hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub>-acyl or methanesulfonyl.

bond can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

**R<sup>13</sup>** has the same meaning as **R<sup>12</sup>**, but is selected independently thereof,

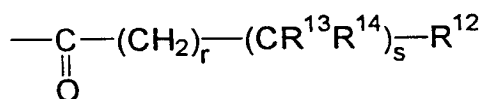
**R<sup>14</sup>** is selected from hydrogen, hydroxy, methyl, benzyl or phenyl,

monocyclic aromatic five- or six-membered heterocycles, which can contain one to three hetero-atoms selected from the group N and/or S and/or O and are bound either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the bond can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

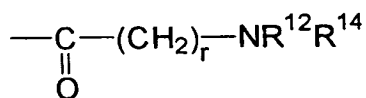
anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from the group N and/or S and/or O and the bond can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

**G2** is selected from the residues



(G2a)

and



(G2b),

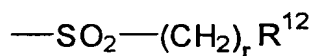
wherein the substituents  $R^{12}$  and  $R^{14}$  can have the above meaning, or the grouping



can also be a nitrogen heterocycle bound over the nitrogen atom, selected from saturated or unsaturated monocyclic, four- to eight-membered heterocycles, which, aside from the essential nitrogen atom, can optionally contain one or two further hetero-atoms selected from N and/or S and/or O, or

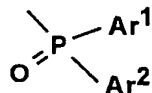
saturated or unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, which, aside from the essential nitrogen atom, can optionally contain one or two further hetero-atoms selected from N and/or S and/or O,

**G3** is the residue



(G3),

**G4** is the residue



(G4),

wherein

$Ar^1$  and

$\text{Ar}^2$  are selected independently of each other from phenyl, pyridyl or naphthyl,

$\text{G5}$  is the residue



(G5)

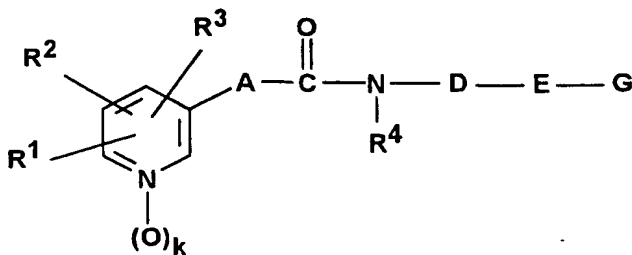
wherein

$\text{R}^{15}$  is trifluoromethyl,  $\text{C}_1$ - $\text{C}_6$ -alkoxy,  $\text{C}_3$ - $\text{C}_6$ -alkenyloxy or benzyloxy and

aromatic ring systems in which the substituents  $\text{R}^1$ ,  $\text{R}^2$ ,  $\text{R}^4$ ,  $\text{R}^{12}$ ,  $\text{R}^{13}$ ,  $\text{R}^{14}$ ,  $\text{R}^{15}$ ,  $\text{Ar}^1$  and  $\text{Ar}^2$  and/or in the ring system  $-\text{NR}^{12}\text{R}^{14}$  can carry independently of each other one to three of the same or different substituents from the series halogen, cyano,  $\text{C}_1$ - $\text{C}_6$ -alkyl, trifluoromethyl,  $\text{C}_3$ - $\text{C}_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $\text{C}_1$ - $\text{C}_6$ -alkoxy, which is optionally entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto,  $\text{C}_1$ - $\text{C}_6$ -alkylthio, carboxy,  $\text{C}_1$ - $\text{C}_6$ -alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono- $\text{C}_1$ - $\text{C}_6$ -alkylamino, di- $(\text{C}_1$ - $\text{C}_6$ -alkyl)-amino, wherein two adjacent groups on the aromatic ring or ring system can form an additional ring over a methylenedioxy bridge.

*Claim 4 wherein*

5. Compounds according to one of the claims 1-4, characterized in that the substituents labelled in formula (I)



(I)

have the following meanings:

**R<sup>1</sup>** is hydrogen, halogen, cyano, methyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, ethylthio, methoxycarbonyl, tert-butoxycarbonyl, aminocarbonyl, carboxy, and phenoxy,

**R<sup>2</sup>** is hydrogen, halogen, trifluoromethyl or hydroxy,

**R<sup>3</sup>** is hydrogen or halogen,

**R<sup>4</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy and C<sub>1</sub>-C<sub>3</sub>-alkoxy,

**k** is 0 or 1,

**A** is C<sub>2</sub>-C<sub>6</sub>-alkenylene, which is optionally substituted once or twice by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy or fluorine,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene, which is optionally substituted by is C<sub>1</sub>-C<sub>3</sub>-alkyl or by 1 or 2 fluorine atoms,

1,3,5-hexatrienylene, which is optionally substituted by fluorine, or

C<sub>2</sub>-C<sub>6</sub>-alkylene, wherein a methylene unit can be isosterically replaced by O, S, CO or SO<sub>2</sub>, and the isosteric substitute, with the exception of =CO cannot be adjacent to the amide group and,



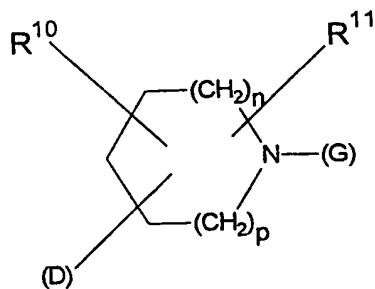
D is C<sub>1</sub>-C<sub>8</sub>-alkylene, which is optionally substituted once twice by methyl or hydroxy,

C<sub>2</sub>-C<sub>8</sub>-alkenylene, which is optionally substituted once or twice by methyl or hydroxy, wherein the double bond can also be to ring E,

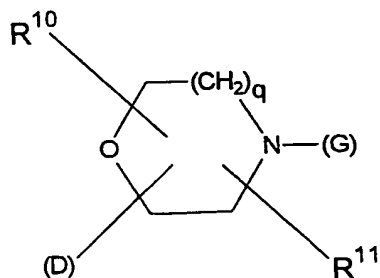
C<sub>3</sub>-C<sub>8</sub>-alkynylene, which is optionally substituted once or twice by methyl or hydroxy, as well as

C<sub>1</sub>-C<sub>8</sub>-alkylene, C<sub>2</sub>-C<sub>8</sub>-alkenylene or C<sub>3</sub>-C<sub>8</sub>-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NH, N(CH<sub>3</sub>), N(COCH<sub>3</sub>), N(SO<sub>2</sub>CH<sub>3</sub>), CO, SO or SO<sub>2</sub>,

E is



or



(E2)

wherein the heterocyclic ring can optionally have a double bond and

**n** and

**p** can be, independent of each other, 0, 1, 2 or 3, with the proviso that  $n + p \leq 3$ ,

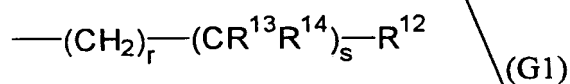
**q** is 2 or 3,

**R<sup>10</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, hydroxymethyl and

**R<sup>11</sup>** is selected from hydrogen or an oxo group which is adjacent to the nitrogen atom,

**G** is hydrogen or  
**G1, G2, G3, G4 and G5**, wherein

**G1** represents the residue



wherein

**r** is 0, 1 or 2 and

**s** is 0 or 1,

**R<sup>12</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, benzyl or phenyl,

benzocyclobutyl, indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, biphenylenyl, fluorenyl,

oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxodihydrophenanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, dihydrodibenzocyclooctenyl, tetrahydrodibenzocyclooctenyl and oxotetrahydrodibenzocyclooctenyl bound directly or over a methylene group,

furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolyl, benzisoxazolyl, oxobenzisoxazolyl, benzothiazolyl, oxobenzthiazolyl, benzoisothiazolyl, oxobenzoisothiazolyl, benzimidazolyl, oxobenzimidazolyl, indazolyl, oxoindazolyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, oxodihydropyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, dihydroquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinoxalyl, quinazolyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, oxotetrahydrocarbazolyl, pyridoindolyl, acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, oxodihydrodibenzoxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzo-thiepinyl, oxodihydrothienobenzo-thiepinyl dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, dihydropyrido-benzodiazepinyl, dihydrodibenzoxazepinyl, dihydropyridobenzoxepinyl, dihydropyridobenzoxazepinyl, oxodihydropyridobenzoxazepinyl,

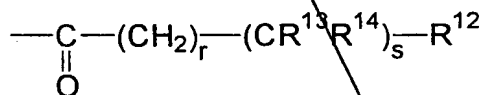
dihydrodibenzothiazepinyl, oxodihydrodibenzothiazepinyl,  
dihydropyridobenzothiazepinyl, oxodihydropyridobenzothiazepinyl, bound  
directly or over a methylene group,

**R<sup>13</sup>** has the same meaning as **R<sup>12</sup>**, but is selected independently therefrom,

**R<sup>14</sup>** is selected from hydrogen, hydroxy, methyl, benzyl or phenyl,

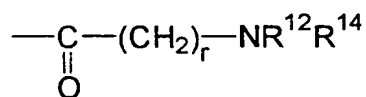
indanyl, indenyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, furyl, thienyl,  
pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl,  
oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl,  
triazinyl, benzofuryl, benzothieryl, indolyl, indolinyl, benzoxazolyl,  
benzothiazolyl, benzimidazolyl, chromanyl, quinolyl or tetrahydroquinolyl  
bound directly or over a methylene group,

**G2** is selected from the residues



(G2a)

and



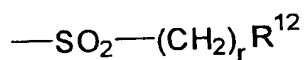
(G2b)

wherein the substituents **R<sup>12</sup>** and **R<sup>14</sup>** can have the above meanings, or  
represents the grouping



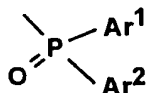
each over the nitrogen-bound ring atom of azetidine, pyrrolidine, piperidine, (1H)tetrahydropyridine, hexahydroazepine, (1H)tetrahydroazepine, octahydroazocine, pyrazolidine, piperazine, hexyhydrodiazepine, morpholine, hexahydrooxazepine, thiomorpholine, thiomorpholine-1,1-dioxide, 5-aza-bicyclo[2.1.1]hexane, 2-aza-bicyclo[2.2.1]heptane, 7-aza-bicyclo[2.2.1]heptane, 2,5-diaza-bicyclo[2.2.1]heptane, 2-aza-bicyclo[2.2.2]octane, 8-aza-bicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, 9-azabicyclo[3.3.1]nonane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-dihydrobenzoxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indole, (10H)-dihydroacridine, 1,2,3,4-tetrahydroacridanone, (10H)-phenoxazine, (10H)-phenothiazine, (5H)-dibenzazepine, (5H)-dihydrodibenzazepine, (5H)-Octahydrodibenzazepine, (5H)-dihydrodibenzodiazepine, (11H)-dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]oxazepine, (10H)-dihydrodibenzo[b,f]thiazepine or (5H)-tetrahydrodibenzazocine,

G3 is the residue



(G3),

G4 is the residue



(G4),

wherein

Ar<sup>1</sup> and

Ar<sup>2</sup> are selected independently of each other from phenyl, pyridyl or naphthyl,

G<sup>5</sup> is the residue



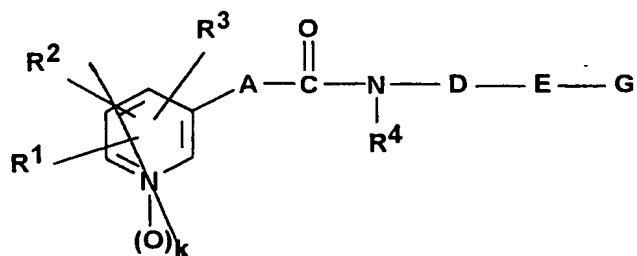
(G<sup>5</sup>)

wherein

R<sup>15</sup> is trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy or benzyloxy and

aromatic ring systems in which the substituents can be substituted independently of each other by one to three of the same or different substituents from the series halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-Cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, which can be entirely or partially substituted by fluorine, can carry benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino, wherein two adjacent groups in the ring or ring system can form an additional ring over a methylenedioxy bridge.

6. Compounds according to ~~one of the claims 1-5, characterized in that~~ *Claim 5 wherein* that the substituents labelled in formula (I)



(I)

have the following meaning:

**R<sup>1</sup>** is hydrogen, halogen, cyano, methyl, trifluoromethyl, hydroxy, methoxy or methoxycarbonyl,

**R<sup>2</sup>** is hydrogen or halogen,

**R<sup>3</sup>** is hydrogen,

**R<sup>4</sup>** is selected from hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy,

**k** is 0 or 1,

**A** is C<sub>2</sub>-C<sub>6</sub>-alkenylene, which is optionally substituted once or twice by hydroxy or fluorine, or

is C<sub>4</sub>-C<sub>6</sub>-alkadienylene, which is optionally substituted by one or two fluorine atoms, or

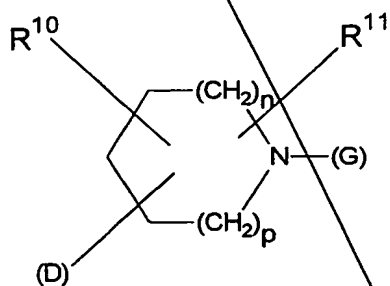
is 1,3,5-hexatrienylene

**D** is C<sub>2</sub>-C<sub>8</sub>-alkylene, which is optionally substituted by methyl or hydroxy

C<sub>2</sub>-C<sub>8</sub>-alkenylene, which is optionally substituted by methyl or hydroxy, wherein the double bond can also be to ring E, or

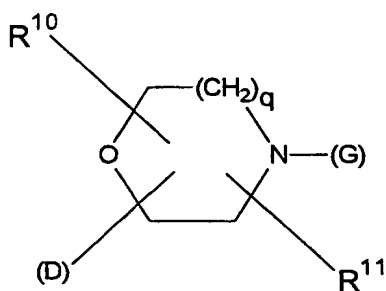
C<sub>2</sub>-C<sub>8</sub>-alkylene, C<sub>2</sub>-C<sub>8</sub>-alkenylene, wherein one to three methylene units are isosterically replaced by O, NH, N(CH<sub>3</sub>), N(COCH<sub>3</sub>), N(SO<sub>2</sub>CH<sub>3</sub>) or CO,

**E** is selected from the residues



(E1)

or



(E2),

wherein the heterocyclic ring can optionally have a double bond and

**n** and **p** can be, independent of each other, 0, 1, 2 or 3, with the proviso that **n + p** ≤ 3 and

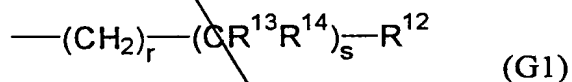


**q** is 2

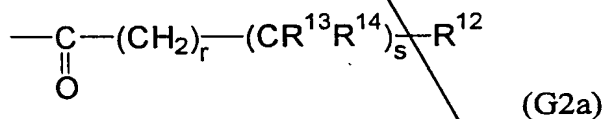
**R<sup>10</sup>** is hydrogen, methyl or hydroxyl and

**R<sup>11</sup>** is hydrogen or an oxo group adjacent to the nitrogen atom,

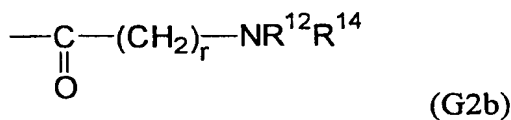
**G** is selected from hydrogen, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, methoxycarbonyl, tert-butoxycarbonyl, benzyloxycarbonyl, trifluoroacetyl, diphenylphosphinoyl or the residues



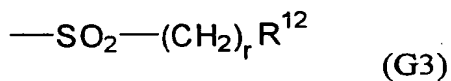
and



and



and



wherein

r is 0 to 2 and

s is 0 or 1,

R<sup>12</sup> is hydrogen, methyl, benzyl or phenyl,

indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, fluorineenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl bound directly or over a methylene group,

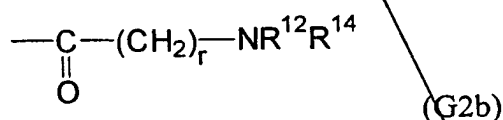
furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolyl, benzisoxazolyl, oxobenzisoxazolyl, benzothiazolyl, oxobenzthiazolyl, benzoisothiazolyl, oxobenzoisothiazolyl, benzimidazolyl, oxobenzimidazolyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, dihydroquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinoxalyl, quinazolyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, oxotetrahydrocarbazolyl, pyridoindolyl, acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl,

dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, dihydropyridobenzoxepinyl, dihydrodibenzothiazepinyl, oxodihydrodibenzothiazepinyl bound directly or over a methylene group,

**R<sup>13</sup>** is hydrogen, methyl, benzyl or phenyl,

**R<sup>14</sup>** is selected from hydrogen, hydroxy, methyl, benzyl, phenyl,

naphthyl, furyl, thienyl, oxazolyl, thiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, pyridyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl or tetrahydroquinolyl, bound directly or over a methylene group, wherein in formula (I)

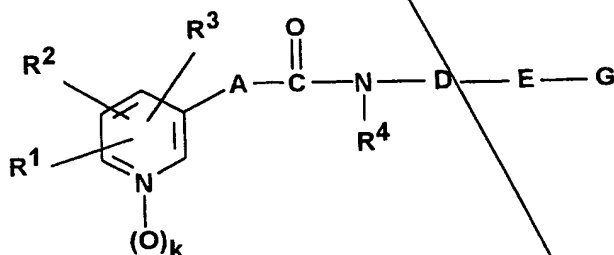


—NR<sup>12</sup>R<sup>14</sup> can also be selected from pyrrolidine, piperidine,

(1H)tetrahydropyridine, hexahydroazepine, Octahydroazocine, piperazine, hexahydrodiazepine, morpholine, hexahydrooxazepine, 2-azabicyclo[2.2.1]heptane, 7-azabicyclo[2.2.1]heptane, 2,5-diazabicyclo[2.2.1]heptane, 8-azabicyclo[3.2.1]octane, 2,5-diazabicyclo[2.2.2]octane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-dihydrobenzoxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indol, (10H)-dihydroacridine, 1,2,3,4-tetrahydroacridanone, (5H)-dihydrodibenzazepine, (5H)-dihydrodibenzodiazepine, (11H)-dihydrodibenzo[b,e]oxazepine, (11H)-

dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]oxazepine or (5H)-tetrahydrodibenzazocine.

- Claim 6 wherein*
7. Compounds according to ~~one of the claims 1-6, characterized in that the~~ substituents labelled in the formula (I)



(I)

have the following meanings:

**R<sup>1</sup>** is hydrogen, fluorine, chlorine, bromine, methyl, trifluoromethyl or hydroxy,

**R<sup>2</sup>** and

**R<sup>3</sup>** are hydrogen,

**R<sup>4</sup>** is hydrogen or hydroxy,

**k** is 0 or 1,

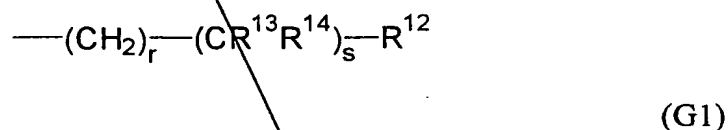
**A** is C<sub>2</sub>-C<sub>4</sub>-alkylene, which is optionally substituted by fluorine,

**D** is selected from C<sub>2</sub>-C<sub>6</sub>-alkylene, C<sub>2</sub>-C<sub>6</sub>-alkenylene, wherein the double bond can also be to ring E, and C<sub>2</sub>-C<sub>6</sub>-alkylene and C<sub>2</sub>-C<sub>6</sub>-alkenylene, wherein a methylene unit is isosterically replaced by O, NH, N(CH<sub>3</sub>) or CO or an ethylene

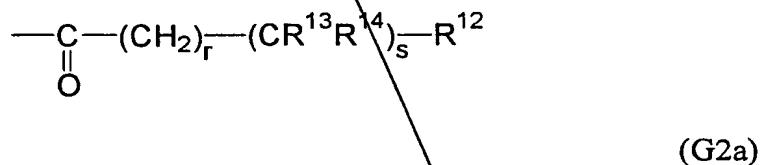
group is isosterically replaced by NH-CO and/or CO-NH or a propylene group can be isosterically replaced by NH-CO-O and/or O-CO-NH,

**E** is selected from pyrrolidine, piperidine, 1,2,5,6-tetrahydropyridine, hexahydroazepine, morpholine and hexahydro-1,4-oxazepine, wherein the heterocyclic ring optionally adjacent to the nitrogen atom can be substituted by an oxo group,

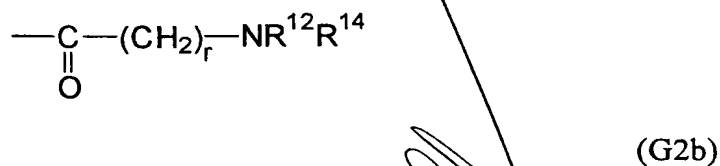
**G** is selected from hydrogen, tert-butoxycarbonyl, diphenylphosphinoyl, or one of the residues



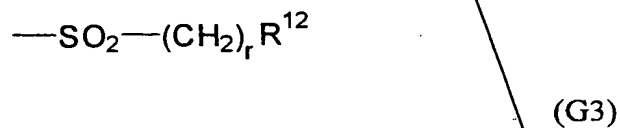
and



and



and



wherein

r is 0 or 1 and

s is 0 or 1,

**R<sup>12</sup>** is hydrogen, methyl, benzyl or phenyl,

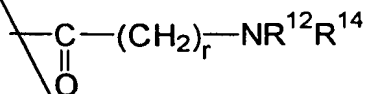
indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl bound directly or over a methylene group,

furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, benzothienyl, indolyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolyl, benzothiazolyl, oxobenzthiazolyl, benzimidazolyl, oxobenzimidazolyl, benzofurazanyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinazolyl, acridinyl, oxodihydroacridinyl, phenothiazinyl, dihydrodibenzoxepinyl, benzocycloheptathienyl, dihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, dihydrodibenzazepinyl, oxodihydrodibenzazepinyl, octahydrodibenzazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, dihydrodibenzothiazepinyl bound directly or over a methylene group,

**R<sup>13</sup>** is hydrogen, methyl, benzyl or phenyl,

**R<sup>14</sup>** is hydrogen, hydroxy, methyl, benzyl or phenyl,

naphthyl, furyl, thienyl, pyridyl, benzofuryl, benzothienyl, indolyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl or tetrahydroquinolyl bound directly or over a methylene group, wherein in the formula

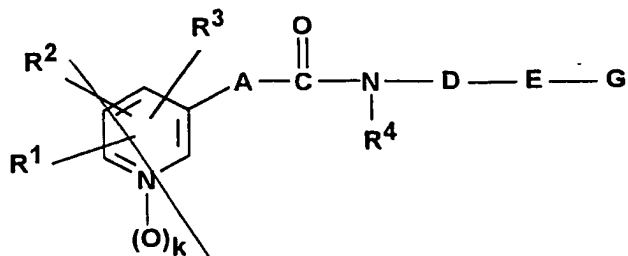


(G2b)

—NR<sup>12</sup>R<sup>14</sup> can be selected from pyrrolidine, piperidine, hexahydroazepine, morpholine, 2,5-diazabicyclo[2.2.1]heptane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydroacridanone, (5H)-dihydrodibenzazepine, (11H)-dihydrodibenzo[b,e]-oxazepine or (11H)-dihydrodibenzo[b,e]thiazepine and

wherein aromatic ring systems in the substituents can be substituted, independently of each other, by one to three of the same or different substituents from the series halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, which can be entirely or partially substituted by fluorine, can carry benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino, whereby two adjacent groups on the aromatic ring or ring system can form an additional ring over a methylenedioxy bridge.

- Claim 7 wherein*
8. Compounds according to ~~one of the claims 1-7, characterized in that~~ the substituents labelled in the formula (I)



(I)

have the following meanings:

**R<sup>1</sup>** is hydrogen, fluorine, methyl, trifluoromethyl or hydroxy,

**R<sup>2</sup>** and

**R<sup>3</sup>** are hydrogen,

**R<sup>4</sup>** is hydrogen or hydroxy,

**k** is 0,

**A** ethenylene(vinylene) or 1,3-butadienylene

**D** is selected from C<sub>2</sub>-C<sub>6</sub>-alkylene or C<sub>2</sub>-C<sub>6</sub>-alkenylene, wherein the double bond can also be to ring E,

**E** is selected from pyrrolidine, piperidine, hexahydroazepine or morpholine,

**G** is selected from benzyl, phenethyl, fluorenylmethyl, anthrylmethyl, diphenylmethyl, fluorenyl or dihydrodibenzocycloheptenyl, furylmethyl, thienylmethyl, thiazolylmethyl, pyridylmethyl, benzothienylmethyl, quinolylmethyl, phenyl-thienylmethyl, phenyl-pyridylmethyl,



dihydrodibenzoxepinyl, dihydrodibenzothiepinyl,

acetyl, pivaloyl, phenylacetyl, diphenylacetyl, diphenylpropionyl, naphthylacetyl, benzoyl, naphthoyl, anthrylcarbonyl, oxofluorenylcarbonyl, oxodihydro-anthrylcarbonyl or dioxodihydroanthrylcarbonyl,

furoyl, pyridylcarbonyl, chromonylcarbonyl, quinolylcarbonyl,

naphthylaminocarbonyl, dibenzylaminocarbonyl, benzylphenylaminocarbonyl, diphenylaminocarbonyl, indoliny-1-carbonyl, dihydrodibenzazepin-N-carbonyl, tetrahydroquinoliny-N-carbonyl, tetrahydrobenzo[b]azepinyl-N-carbonyl,

methanesulfonyl, phenylsulfonyl, p-toluenesulfonyl, naphthylsulfonyl, quinolinsulfonyl and

diphenylphosphinoyl,

wherein aromatic ring systems can be substituted independently of each other by one to three of the same or different substituents from the series halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, which can be entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino, wherein two adjacent groups in the ring or ring system can form an additional ring over a methylenedioxy bridge.

- Claim 8 wherein*
9. Compound according to ~~one of the claims 1-8, characterized in that~~ it is present in the form of

N-[4-(1-methylsulfonylpiperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide,

N-{4-[1-(2-naphthylsulfonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide,

N-{4-[1-(2-naphthylsulfonyl)-piperidin-4-yl]-butyl}-5-(pyridin-3-yl)-2,4-pentadienoic acid amide,

N-{4-[1-(1-naphthylaminocarbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide,

N-[4-(1-diphenylaminocarbonyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide,

N-[4-(1-diphenylaminocarbonyl-piperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienoic acid amide,

N-{4-[1-(10,11-dihydrodibenzo[b,f]azepin-5-yl-carbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide or

5401

N-[4-(1-diphenylphosphinoyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide

and/or as a pharmaceutically acceptable acid addition salt thereof.

10. Compound according to ~~any one of the claims 1-8, characterized in that~~ *Claim 8 wherein* it is present in the form of

N-[4-(1-acetyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide,

N-[4-(1-diphenylacetyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide,

N-{4-[1-(3,3-diphenylpropionyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide,

N-[4-(1-benzoyl-piperidin-4-yl)-butyl]-3-(pyridin-3-yl)-acrylamide,

N-[4-(1-benzoylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienoic acid amide, or

N-{4-[1-(9-oxo-9H-fluoro-4-yl-carbonyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide

and/or as a pharmaceutically acceptable acid addition salt thereof.

11. Compound according to *Claim 8 wherein* ~~any one of the claims 1-8, characterized in that~~ it is present in the form of

N-{4-[1-(phenylpyridin-3-yl-methyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide,

N-{4-[1-(phenylpyridin-4-yl-methyl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide,

N-{4-[1-(6,11-dihydrodibenzo[b,e]oxepin-11-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide, or

N-{4-[1-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-piperidin-4-yl]-butyl}-3-(pyridin-3-yl)-acrylamide

and/or as a pharmaceutically acceptable acid addition salt thereof.

12. Compound according to *Claim 8 wherein* ~~any one of the claims 1-8, characterized in that~~ it is present in the form of

N-[7-(1-diphenylmethylpiperidin-4-yl)-heptyl]-3-(pyridin-3-yl)-acrylamide,

N-[8-(1-diphenylmethylpiperidin-4-yl)-octyl]-3-(pyridin-3-yl)-acrylamide,

N-[3-(1-diphenylmethylpiperidin-4-yloxy)-propyl]-3-(pyridin-3-yl)-acrylamide, or

N-[3-(1-benzylpiperidin-4-yloxy)-propyl]-3-(pyridin-3-yl)-acrylamide

and/or as a pharmaceutically acceptable acid addition salt thereof.

13. Compound according to ~~any one of the claims 1-8, characterized in that~~ *Claim 8 wherein* it is present in the form of

N-[2-(1-diphenylmethylpiperidin-4-yl)-ethyl]-5-(pyridin-3-yl)-2,4-pentadienoic acid amide,

N-[4-(1-diphenylmethylpiperidin-4-yl)-butyl]-5-(pyridin-3-yl)-2,4-pentadienoic acid amide,

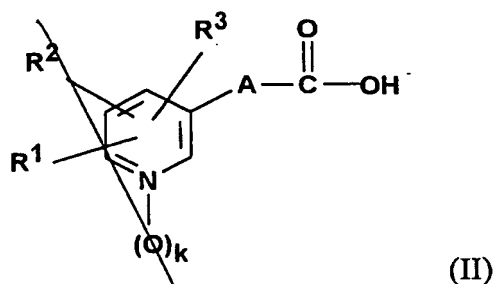
N-[5-(1-diphenylmethylpiperidin-4-yl)-pentyl]-5-(pyridin-3-yl)-2,4-pentadienoic acid amide or

N-[6-(1-diphenylmethylpiperidin-4-yl)-hexyl]-5-(pyridin-3-yl)-2,4-pentadienoic acid amide

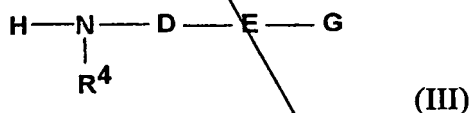
and/or as a pharmaceutically acceptable acid addition salt thereof.

14. Method for the production of compounds according to formula (I) according to ~~one of the claims 1-13 characterized in that~~ *Claim 13 wherein* either

(a) carboxylic acids of formula (II)



in which  $R^1$ ,  $R^2$ ,  $R^3$ , A and k have the meaning given above or their reactive derivatives are reacted with compounds of formula (III)



wherein D, E, G and  $R^4$  have the meanings given in claims 1-8 or

(b) compounds of formula (I), wherein G is hydrogen, are reacted with a compound of formula (IV),



in which G has the meaning given in claims 1-8, with the exception of hydrogen, and L represents a suitable nucleofuge or reactive group, whereby the type of specific nucleofuge or reactive group L as well as the reaction conditions are dependent on the nature of the residue G, or

(c) compounds of formula (I), in which G has the meaning of G1 according to claims 1-7, with the exception of hydrogen, are produced in a such a manner that compounds of formula (I), in which G is hydrogen, are reacted with a suitable alkylation agent and/or arylation agent of formula (IV) according to the above variant (b), wherein G is an alkyl-, alkenyl-, alkynyl-, cycloalkyl-, aryl-, aralkyl-, heteroaryl- or heteroaralkyl

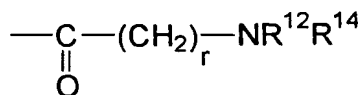
residue according to definition and the nucleofuge L can be a reactive derivative of an alcohol, for example, a halogen atom such as chlorine, bromine or iodine or a sulfonic acid ester, i.e. for example a methanesulfonyloxy-, trifluoromethanesulfonyloxy-, ethanesulfonyloxy-, benzenesulfonyloxy-, p-toluenesulfonyloxy-, p-bromobenzenesulfonyloxy- or m-nitrobenzenesulfonyloxy residue, etc. or a reactive group L can be an epoxide group,

(d) compounds of formula (I), in which G represents an acyl, carbamoyl, sulfonyl or a phosphinoyl residue according to the above definition are produced in such a manner that compounds of formula (I), in which G is hydrogen, are reacted with a carboxylic, carbamic, sulfonic and/or phosphinic acid of formula (V),



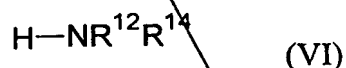
wherein G is an acyl, carbamoyl, sulfonyl or phosphinoyl residue according to definition, or with derivatives thereof capable of reaction, whereby symmetric or unsymmetric carboxylic acid anhydrides and/or sulfonic acid anhydrides or acyl- and/or sulfonyl halides, especially acyl- and/or sulfonyl chlorides and carbamoyl halides and/or phosphinic acids are used as preferred derivatives of carboxylic acids and/or sulfonic acids (V) capable of reaction, and the reaction of the acids (V) and/or their reactive derivatives with the compounds (I), in which G is hydrogen, preferably occurs in the presence of auxiliary bases in solvents and under conditions as they are described in variant (a), or

(e) compounds of formula (I), in which G represents a carbamoyl residue according to the definition (G2b) in the form of the grouping



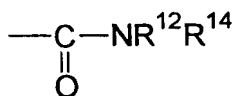
wherein  $r = 0$

are produced in such a manner that compounds of formula (I), in which G is hydrogen are reacted with a carbonyl group transmitter to an intermediate product and the latter, without its purification or previous isolation, is brought to reaction with a primary or secondary amine with the formula (VI)

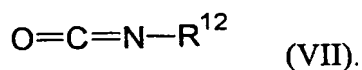


wherein  $\text{R}^{12}$  and  $\text{R}^{14}$  and/or the grouping  $-\text{NR}^{12}\text{R}^{14}$  have the meanings according to claims 1-7, wherein bis-(trichloromethyl) carbonate (triphosgene) and carbonyldiimidazol are used as particularly reactive carbonyl group transmitters and the reaction of compounds of formula (I), wherein G is hydrogen, with triphosgene and/or carbonyldiimidazol is preferably carried out in an absolute, inert solvent in the presence of a tertiary organic amine as an auxiliary base in such a manner that the solution of compounds (I) and the auxiliary base are slowly added to a solution of an equivalent amount of carbonyl group transmitter, or

(f) compounds of formula (I), in which G is a carbamoyl residue according to the definition G2, with  $r = 0$  and  $\text{R}^{14} = \text{hydrogen}$ , with grouping



are produced in such a manner that compounds of formula (I), in which G is hydrogen, are brought into reaction with an isocyanate of the formula (VII)



in which  $R^{12}$  has the meaning as given in claims 1-7, wherein the reaction of the compounds of formula (I), in which G is hydrogen, with the isocyanates of formula (VII) preferably occurs in an absolute, inert solvent, such as for example, a hydrocarbon such as pentane, hexane, heptane, benzene, toluene, or xylene, chlorinated hydrocarbons (such as dichloromethane, chloroform, 1,2-dichloroethane, trichloroethylene), ethers (for example, diethyl ether, tetrahydrofuran, dioxane), esters such as ethyl acetate, butyl acetate, or polar aprotic solvents such as formamide or dimethylformamide and/or mixtures thereof and the reaction temperatures can lie in the region from  $-20^{\circ}\text{C}$  to  $150^{\circ}\text{C}$ , but can preferably vary from  $20^{\circ}\text{C}$  to  $100^{\circ}\text{C}$ , or

(g) compounds of formula (I), in which  $R^4$  is an alkyl, alkenyl, alkynyl or cycloalkyl residue according to the above definition are produced in such a manner that compounds of the formula (I), in which  $R^4$  is hydrogen, are reacted with a suitable alkylation agent of formula (VIII)



in which  $R^4$  is an alkyl, alkenyl, alkynyl or cycloalkyl residue according to definition and L represents a suitable nucleofuge, i.e. for example a halogen atom such as chlorine, bromine or iodine or a sulfonic acid ester of an alcohol, wherein sulfonic acid esters of formula (VIII) especially contain a methylsulfonyloxy group, trifluoromethanesulfonyloxy-, p-toluenesulfonyloxy-, p-bromobenzenesulfonyloxy- or m-nitrobenzenesulfonyloxy group as a nucleotype L and the amide alkylation is carried out in the presence of tertiary amino groups under the use of strong auxiliary bases such as potassium tert-butyrate, sodium hydride, potassium hydride or butyl lithium in aprotic, inert solvents, for example, aliphatic or aromatic hydrocarbons such as pentane, hexane, heptane, benzene, toluene or ethers such as tetrahydrofuran, dioxane, or polar solvents such as dimethylsulfoxide, dimethylformamide, N-methylpyrrolidone, wherein the reaction temperature can lie between  $-40^{\circ}\text{C}$  and  $140^{\circ}\text{C}$ , preferably between  $-20^{\circ}\text{C}$  and  $80^{\circ}\text{C}$ , depending on the reactivity of the educts.



15. Method according to claim 14, <sup>wherein</sup> ~~characterized in that~~ as reactive derivatives of compound (II) their activated esters, anhydrides, acid halides, (especially acid chlorides), simple low alkyl esters, especially the p-nitrophenyl esters 2,4,6-trichlorophenyl esters, pentachlorophenyl esters, cyanomethyl esters, esters of N-hydroxysuccinimide, N-hydroxyphthalimide, 1-hydroxybenzotriazol, N-hydroxypiperidine, 2-hydroxypyridine or 2-mercaptopyridine are used according to method variant (a), wherein symmetric as well as mixed anhydrides or for example those from the reaction with pivoyl chloride or with chloroformates, for example, aromatic chloroformates such as chloroformic acid phenyl ester, araliphatic chloroformates such as chloroformic acid benzyl ester or aliphatic chloroformates such as chloroformic acid methyl ester, ethyl ester or isobutyl ester are used as anhydrides, and the reaction of the compounds (II) with the compounds (III) can be facultatively preformed in the presence of condensation agents such as dicyclohexylcarbodiimide, 1-ethyl-3-(3-dimethylaminopropyl)-carbodiimide hydrochloride, N,N'-carbonyldiimidazol or 1-ethoxycarbonyl-2-ethoxy-1,2-dihydroquinoline, wherein

in the case of carbodiimides as a condensation agent, especially N-hydroxysuccinimide, N-hydroxyphthalimide, 1-hydroxybenzotriazol or N-hydroxypiperidine can be added, and

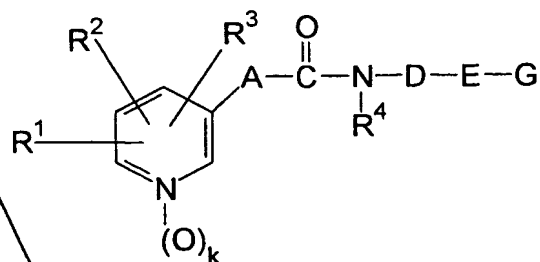
the compounds of formula (III) as free bases as well as in form of their acid addition salts can be brought to reaction, especially in form of salts of organic acids such as hydrochlorides, hydrobromides, or sulfates and the reaction of compounds of formula (II), optionally in form of their reactive derivatives, is performed with compounds (III) in a suitable, preferably inert, solvent such as aromatic hydrocarbons such as benzene, toluene, xylene, halogenated hydrocarbons such as dichloromethane, chloroform, 1,2-dichloroethane, trichloroethylene, or ethers such as diethyl ether, tetrahydrofuran, dioxane, glycol dimethyl ether, ethylacetate, acetonitrile or polar aprotic solvents such as dimethylsulfoxide, dimethylformamide or N-methylpyrrolidone as such in pure form or as mixtures of two or more thereof, wherein

the reaction is optionally carried out in the presence of an auxiliary base such as alkali metal carbonates, for example sodium carbonate, potassium carbonate, alkali metal hydrogen carbonates such as sodium hydrogen carbonate, potassium hydrogen carbonate, or organic bases such as triethylamine, ethyldiisopropylamine, tributylamine, N-methylmorpholine or pyridine, wherein a suitable excess of the compound of formula (III) can be used as a base, and in case of use of the compounds of formula (III) in form of their acid addition salts, the amount of the auxiliary base is considered equivalent, and

the reaction temperatures preferably lie between  $-40^{\circ}\text{C}$  and  $180^{\circ}\text{C}$ , especially between  $-10^{\circ}\text{C}$  and  $130^{\circ}\text{C}$ , preferably at the boiling point of the solvent used.

16. Method according to claim 14, <sup>wherein</sup> ~~characterized in that~~ according to method variant (b), the reaction of compounds (I), in which G is hydrogen, with compounds according to formula (IV) can be carried out in an inert solvent such as aromatic hydrocarbons for example, benzene, toluene, xylene, or ethers, for example, in tetrahydrofuran, dioxane, glycol dimethyl ether, or in ethylacetate, acetonitrile, ketones such as acetone, ethyl methyl ketone, in polar protic solvents such as alcohols such as ethanol, isopropanol, butanol, glycol monomethyl ether or polar aprotic solvents such as dimethylsulfoxide, dimethylformamide or N-methylpyrrolidone, wherein pure solvent as well as mixtures of two or more of them can be used, and the reactions can be carried out in the presence of bases, for example in the presence of the same as they can be used according to the method variant (a) according to claim 15, and, in the case of the chlorides or bromides as compounds (IV), addition of alkali metal iodides such as sodium iodide or potassium iodide occurs and the reaction temperatures can vary between  $0^{\circ}\text{C}$  and  $180^{\circ}\text{C}$ , however, preferably between  $20^{\circ}\text{C}$  and  $130^{\circ}\text{C}$ , depending on the reactivity of the educts.

17. Compounds according to the general formula (I)



(I)

wherein G is hydrogen and the remaining substituents have the meanings given in the *Claim 7*  
~~claims 1-7.~~

18. Compound or compound mixture according to *Claim 17, wherein*  
~~one of the claims 1-13 and 17~~  
 for use in a therapeutic method for treatment of the human or animal body or in a  
 corresponding diagnosis method.

19. Compound or compound mixture for use in a therapeutic method according to  
*wherein*  
~~claim 18, characterized in that~~ the therapeutic use is in connection with cancerostatic or  
 cytostatic or immunosuppressive treatment, optionally in connection with suitable  
 pharmaceutically acceptable adjuvants and carriers and/or one or more further active  
 ingredients.

20. Use of one or more compounds according to *Claim 19*  
~~one of the claims 1-13 and 17,~~  
 including (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidine-4-yl)ethyl]-2-propenamide  
 hydrochloride, for the preparation of a medicament for treatment of the human or  
 animal body in the medical indications named above in claim 19.

21. Use of one or more compounds according to *Claim 17*  
~~one of the claims 1-13 and 17,~~  
 including (E)-3-(3-pyridyl)-N-[2-(1-benzylpiperidine-4-yl)ethyl]-2-propenamide  
 hydrochloride, for the production of a medicament for cytostatic treatment of the  
 human or animal body.

22. Medicament with an amount of one or more active ingredients according to ~~one or more of the claims 1-13 and 17~~, optionally in connection with a pharmaceutically acceptable carrier, aside from toxicologically safe adjuvants, and/or in combination with other active ingredients.

23. Method for the production of a medicament according to claim 22, ~~characterized in that one or more of the compounds according to one or more of the claims 1-13 and 17 are processed with suitable, pharmaceutically acceptable carriers and adjuvants to a finished medical form.~~

24. Medicament according to claim 22, ~~characterized in that~~ it is present in the form of a solid, peroral administration form as a tablet, capsule, coated tablet, optionally in sustained action or gastric fluid-resistant form, or as a liquid, peroral administration solution, suspension, effervescent tablet, in the form of tabs or sachets, optionally in sustained action, if possible, or in gastric fluid-resistant form.

25. Medicaments according to claim 22, ~~characterized in that~~ it is present in the form of a suitable injection or infusion preparation together with suitable pharmaceutically acceptable carriers and adjuvants, optionally in sustained action form or as a parenteral depot medicinal form or implant or is used in the form of a concentrate, powder or lyophilisate and the parenteral dilution agent is optionally manufactured in the packaging separately therefrom, wherein the mixing of both compounds with each other or of the active ingredient with a common parenterally applicable dilution agent occurs immediately before use.

26. Medicament according to claim 22, ~~characterized in that~~ it is present in the form of an inhalation therapeutic agent, for example, in the form of a spray together with suitable pharmaceutically acceptable propellants, carriers and adjuvants.

27. Medicament according to claim 22, ~~characterized in that~~ it is present in the form of a transdermal therapeutic system for systemic treatment.

28. Medicament according to claim 22, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a gastrointestinal therapeutic system for systemic treatment.

29. Medicament according to claim 22, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a salve, suspension, emulsion, a balm or plaster or in the form of an externally applicable solution.

30. Medicament according to claim 26 for administration by means of a controlled dosage aerosol or in the form of a dry powder dosage formulation.

31. Medicament according to claim 22, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a rectal, genital, or transurethral administration emulsion, a solution, a liposomal solution, an implant, suppository or a capsule.

32. Medicament according to claim 22, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a nasal, otologic or ophthalmologic composition.

33. Medicament according to <sup>Claim 24 wherein</sup> ~~claim 22 or 24, characterized in that~~ it is present in the form of a buccally applicable form.

34. Medicament according to <sup>Claim 24 wherein</sup> ~~claim 22 and 24, characterized in that~~ a dosage unit for single administration contains 0.01 to 2.0 mg or 0.1-10 or 20 mg active ingredient ~~according to the claims 1-13 and 17.~~

35. Medicament according to claim 26, <sup>wherein</sup> ~~characterized in that~~ the pharmaceutically acceptable carrier and/or diluent is a propellant aerosol.

36. Medicament according to <sup>Claim 35 wherein</sup> ~~claim 26 or 35, characterized in that~~ the propellant aerosol is tetrafluoroethane and/or heptafluoropropane and/or propane, butane, or dimethyl ether or mixtures thereof.

216

37. Medicament according to claim <sup>36 wherein</sup> ~~26, 35 or 36, characterized in that~~ the propellant aerosol contains surface active adjuvants.

38. Medicament according to claim <sup>26 wherein</sup> ~~22 or 26, characterized in that~~ it contains glucose and/or lactose as a dry powder dosage.

39. Substance or substance mixture according to claim <sup>20 wherein</sup> ~~19 or 20, characterized in that~~ the therapeutic use is suitable in connection with the combination with a further cytostatic agent or immunosuppressive agent.

40. Medicament according to claim <sup>24 wherein</sup> ~~22 and 24, characterized in that~~ it is present in combination with a further cytostatic agent or immunosuppressive agent, optionally in the form of separate dosage units in the pharmaceutical package.

217

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41. N-(4-diphenylmethyl-morpholin-2-ylmethyl)-3-(pyridin-3-yl)-acrylamide.

add  
B<sub>2</sub>

AMENDED SHEET